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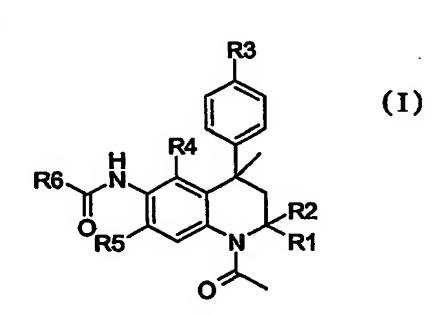
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(54) Title: TETRAHYDROQUINOLINE DERIVATIVES



The present invention relates to tetrahydroquinoline (57) Abstract: derivatives having general formula (I) or a pharmaceutically acceptable salt thereof, wherein R¹ and R² are H or Me; R³ is H, hydroxy, (1-4C)alkoxy, (2-6)heterocycloakl(2-4C)alkoxy; (di)(1-4C)alkylamino(2-4C)alkoxy or R⁴ is H, OH, (1-4C)alkoxy or R⁷; R⁵ is H, OH, (1-4C)alkoxy or R⁷, with the proviso that if R⁴ is H, R⁵ is not H, OH or (1-4C)alkoxy and that if R⁵ is H, R⁴ is not H, OH or (1-4C)alkoxy; R⁶ is (2-5C)heteroaryl, (6C)aryl, (3-8C)cycloalkyl, (2 6C)heterocycloalkyl or (1-6C)alkyl; R⁷ is amino, (di)(1-4C) alkylamino, (6C)arylcarbonylamino, (6C)arylcarbonyloxy, (2-5C) heteroarylcarbonylamino, (2-5C)heteroarylcarbonyloxy, R8-(2-4C)alkylamino, R8-(2-4C)alkoxy, R9-methylamino or R9-methoxy; R8 is hydroxy, amino, (2-6C)heterocycloalkyl, (di)(1-4C)alkylamino, (1-4C)alkoxy, (2-6C)

heterocycloalkylcarbonylamino, (di)(1-4C)alkylaminocarbonylamino, (1-4C) alkoxycarbonylamino and R⁹ is aminocarbonyl, (di)(1-4C)alkylaminocarbonyl, (2-5C)heteroaryl or (6C)aryl. The present invention also relates to pharmaceutical compositions comprising said derivatives and the use of these derivatives to regulate fertility.

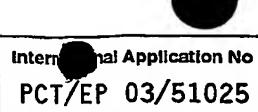




PCT/EP 03/51025 A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D215/38 A61K31/47 A61P5/08 C07D405/12 CO7D409/12 CO7D401/12 CO7D413/12 CO7D409/14 According to International Patent Classification (IPC) or to both national classification and IPC **B. FIELDS SEARCHED** Minimum documentation searched (dassification system followed by classification symbols) IPC 7 CO7D A61K A61P Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, CHEM ABS Data C. DOCUMENTS CONSIDERED TO BE RELEVANT Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. Category ° 1,13-15 WO 00/08015 A (APPLIED RESEARCH SYSTEMS ARS HOLDING N.V.) 17 February 2000 (2000-02-17) claims 1,13-15 US 6 200 963 B1 (JAY E. WROBEL ET AL.) A 13 March 2001 (2001-03-13) column 11, line 55 - column 12 Patent family members are listed in annex. Further documents are listed in the continuation of box C. • Special categories of cited documents: *T* later document published after the international filing date or priority date and not in conflict with the application but "A" document defining the general state of the art which is not cited to understand the principle or theory underlying the considered to be of particular relevance invention "E" earlier document but published on or after the international "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to filing date involve an inventive step when the document is taken atone "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another "Y" document of particular relevance; the claimed invention citation or other special reason (as specified) cannot be considered to involve an inventive step when the document is combined with one or more other such docu-O' document referring to an oral disclosure, use, exhibition or ments, such combination being obvious to a person skilled other means in the art. *P* document published prior to the international filing date but "&" document member of the same patent family later than the priority date claimed Date of malling of the international search report Date of the actual completion of the international search 14/06/2004 4 June 2004 Authorized officer Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Van Bijlen, H Fax: (+31-70) 340-3016



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